

## Connecting via Winsock to STN

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 4 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records  
NEWS 5 MAY 11 KOREPAT updates resume  
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and  
USPATFULL/USPAT2  
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAplus  
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/CAplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/CAplus fields enhanced with simultaneous left and right  
truncation

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 11:40:01 ON 25 SEP 2006

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:40:13 ON 25 SEP 2006  
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DICTIONARY FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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=>  
Uploading C:\Program Files\Stnexp\Queries\521006-R1-STR-Bhat et al.str

L1 STRUCTURE UPLOADED

=> d 11  
L1 HAS NO ANSWERS  
L1 STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> d scan  
L1 HAS NO ANSWERS

=> s 11 exact full  
FULL SEARCH INITIATED 11:41:35 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L2 0 SEA EXA FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
57.42 57.63

FILE 'CAPLUS' ENTERED AT 11:41:54 ON 25 SEP 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 25 Sep 2006 VOL 145 ISS 14  
FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

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(FILE 'HOME' ENTERED AT 11:40:01 ON 25 SEP 2006)

FILE 'REGISTRY' ENTERED AT 11:40:13 ON 25 SEP 2006

L1 STRUCTURE uploaded  
L2 0 S L1 EXACT FULL

FILE 'CAPLUS' ENTERED AT 11:41:54 ON 25 SEP 2006

=> s 12  
L3 0 L2

=> s 11  
REG1STRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 11:42:47 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 126 TO ITERATE

100.0% PROCESSED 126 ITERATIONS  
SEARCH TIME: 00.00.01

## 26 ANSWERS

FULL FILE PROJECTIONS:	ONLINE	**COMPLETE**	
	BATCH	**COMPLETE**	
PROJECTED ITERATIONS:	1847	TO	3193
PROJECTED ANSWERS:	215	TO	825

L4 26 SEA SSS SAM L1

L5 23 L4

=> d ed ibib abs ~~hitstr~~ 1

L5 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 01 Sep 2006  
ACCESSION NUMBER: 2006:894501 CAPLUS  
DOCUMENT NUMBER: 145:272001

n STN

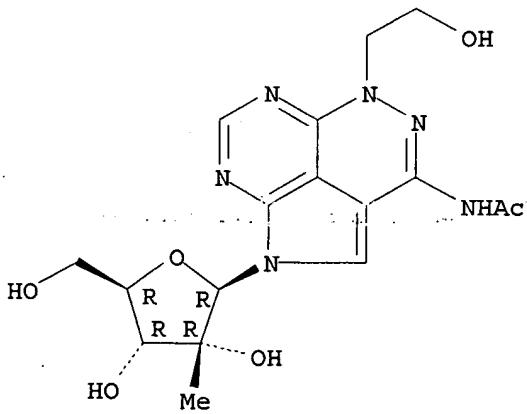
ACCESSION NUMBER: 2004:465503 CAPLUS  
DOCUMENT NUMBER: 141:157373  
TITLE: Synthesis of new 2'- $\beta$ -C-methyl related  
triciribine analogues as anti-HCV agents  
AUTHOR(S): Smith, Kenneth L.; Lai, Vicky C. H.; Prigaro, Brett  
J.; Ding, Yili; Gunic, Esmir; Girardet, Jean-Luc;  
Zhong, Weidong; Hong, Zhi; Lang, Stanley; An, Haoyun  
CORPORATE SOURCE: Valeant Pharmaceuticals International, Costa Mesa, CA,  
92626, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),  
14(13), 3517-3520  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 141:157373  
AB Ten new  $\beta$ -D-ribofuranosyl and 2'- $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl triciribine derivs. with various N4 and 6-N substituents on the tricyclic ring were synthesized from the corresponding toyocamycin and new 2'- $\beta$ -C-Me toyocamycin derivs. The inhibitory studies of these compds. in the HCV replicon assay reveal that some of them possess interesting anti-HCV properties with low cytotoxicity.

IT 729595-73-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and anti-HCV anal. of  $\beta$ -D-ribofuranosyl and  
 $2'$ - $\beta$ -C-methyl- $\beta$ -D-ribofuranosyl triciribine derivs. with  
various N4 and 6-N substituents on the tricyclic ring)

RN 729595-73-7 CAPLUS  
CN Acetamide, N-[1,5-dihydro-5-(2-hydroxyethyl)-1-(2-C-methyl-β-D-ribofuranosyl)-1,4,5,6,8-pentaazaacenaphthylen-3-yl]- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 08 Apr 2004 *08 Nov*  
ACCESSION NUMBER: 2004:290484 CAPLUS  
DOCUMENT NUMBER: 140:327061  
TITLE: Nucleoside derivatives for treating hepatitis C virus  
infection  
INVENTOR(S): Roberts, Christopher Don; Dyatkina, Natalia B.  
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028481	A2	20040408	WO 2003-US31433	20030930
W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499253	AA	20040408	CA 2003-2499253	20030930
AU 2003279797	A1	20040419	AU 2003-279797	20030930
EP 1572097	A2	20050914	EP 2003-773127	20030930
EP 1572097	A3	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505537	T2	20060216	JP 2004-540353	20030930
NO 2005001969	A	20050524	NO 2005-1969	20050422
PRIORITY APPLN. INFO.:			US 2002-415222P	P 20020930
			US 2003-443169P	P 20030129
			WO 2003-US31433	W 20030930

OTHER SOURCE(S): MARPAT 140:327061

AB Nucleoside compns. and methods for treating hepatitis C virus infections. Thus, 9-(2'-C-methyl- $\beta$ -D-ribofuranosyl)-6-methoxyaminopurine was prepared by the reaction of 6-chloro-9-(2'-C-methyl- $\beta$ -D-ribofuranosyl)purine and methxylamine. This compound exhibited anti-hepatitis C activity by inhibiting HCV polymerase.

IT 677298-88-3P 677298-93-0P 677298-94-1P

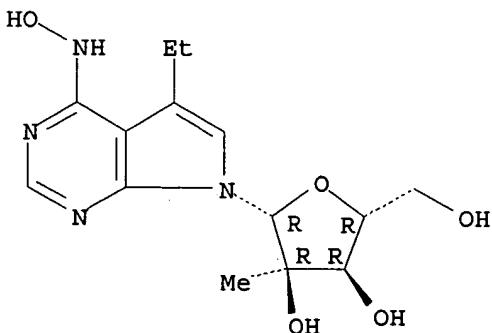
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nucleoside derivs. for treating hepatitis C virus infection)

RN 677298-88-3 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 5-ethyl-1,7-dihydro-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)-, oxime (9CI) (CA INDEX NAME)

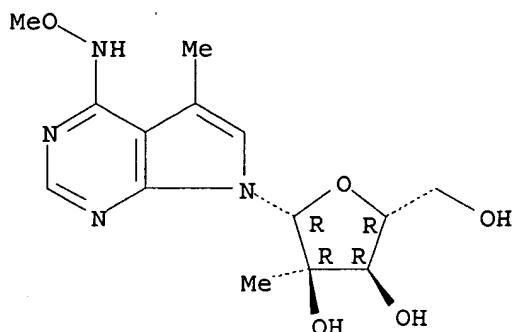
Absolute stereochemistry.



RN 677298-93-0 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)-, O-methyloxime (9CI) (CA INDEX NAME)

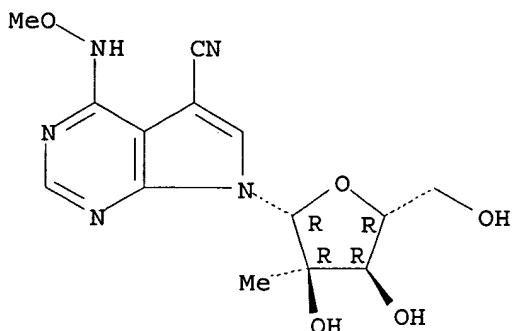
Absolute stereochemistry.



RN 677298-94-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methyl-beta-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



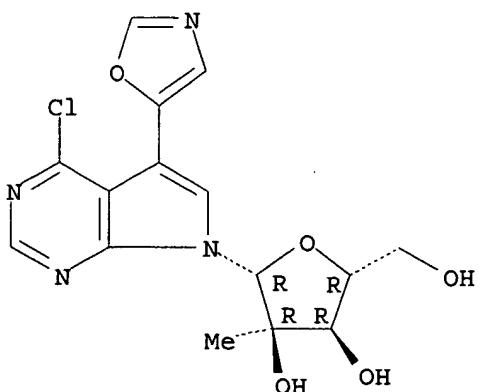
IT 677299-14-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(nucleoside derivs. for treating hepatitis C virus infection)

RN 677299-14-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(2-C-methyl-beta-D-ribofuranosyl)-5-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 07 Dec 2003  
ACCESSION NUMBER: 2003:951160 CAPLUS

for New

DOCUMENT NUMBER: 140:13688  
TITLE: Oligonucleotides having modified nucleoside units with

various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes

INVENTOR(S): Eldrup, Anne; Cook, Phillip Dan; Parshall, Lynne B.

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003100017	A2	20031204	WO 2003-US16526	20030523
WO 2003100017	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003241621	A1	20031212	AU 2003-241621	20030523
US 2004014108	A1	20040122	US 2003-444298	20030523
PRIORITY APPLN. INFO.:			US 2002-383358P	P 20020524
			WO 2003-US16526	W 20030523

OTHER SOURCE(S): MARPAT 140:13688

AB Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.

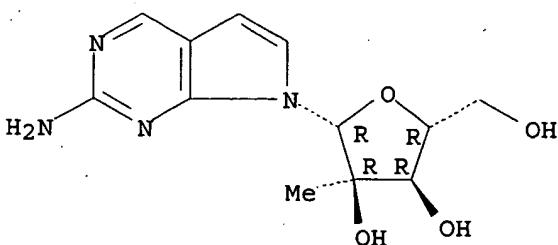
IT 443642-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of modified nucleosides and nucleoside amidites for incorporation into oligonucleotides, and uses)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl- $\beta$ -D-ribofuranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 07 Dec 2003

ACCESSION NUMBER: 2003:951042 CAPLUS

DOCUMENT NUMBER: 140:24085

TITLE: Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes

INVENTOR(S): Eldrup, Anne; Cook, Phillip Dan; Parshall, B. Lynne

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 271 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099840	A1	20031204	WO 2003-US16502	20030523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237249	A1	20031212	AU 2003-237249	20030523
US 2004014957	A1	20040122	US 2003-444628	20030523
PRIORITY APPLN. INFO.:			US 2002-383438P	P 20020524
			WO 2003-US16502	W 20030523

OTHER SOURCE(S): MARPAT 140:24085

AB Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.

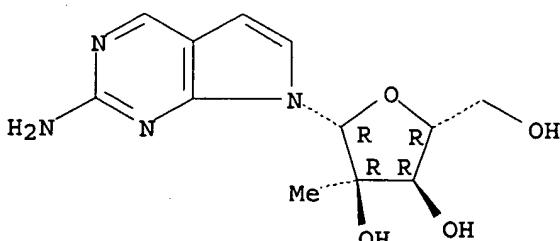
IT 443642-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(oligonucleotides having modified nucleoside units with various linkages)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 22 Aug 2003 (TDD New)  
ACCESSION NUMBER: 2003:656596 CAPLUS  
DOCUMENT NUMBER: 139:191380  
TITLE: Methods of inhibiting orthopoxvirus replication with nucleoside compounds  
INVENTOR(S): Olsen, David B.; Lafemina, Robert L.; Eldrup, Anne B.; Bera, Sanjib  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.  
SOURCE: PCT Int. Appl., 99 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068244	A1	20030821	WO 2003-US3703	20030207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474563	AA	20030821	CA 2003-2474563	20030207
AU 2003209045	A1	20030904	AU 2003-209045	20030207
EP 1476169	A1	20041117	EP 2003-707772	20030207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005164960	A1	20050728	US 2003-504445	20030207
JP 2005527499	T2	20050915	JP 2003-567425	20030207
PRIORITY APPLN. INFO.:			US 2002-356805P	P 20020213
			WO 2003-US3703	W 20030207

OTHER SOURCE(S): MARPAT 139:191380

AB The present invention provides methods of inhibiting orthopoxvirus replication and/or treating orthopoxvirus infection with certain nucleoside compds. and derivs. thereof. These compds. are particularly useful as inhibitors of vaccinia virus and variola virus replication and/or for the treatment of vaccinia virus and variola virus infection. The nucleoside compds. may be administered alone or in combination with other agents active against orthopoxvirus infection, in particular against vaccinia virus or variola virus infection. Another aspect of the present invention provides for the use of such nucleoside compds. in the manufacture of a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection. Yet a further aspect of the present invention provides such nucleoside compds. for use as a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection.

IT 443642-48-6P

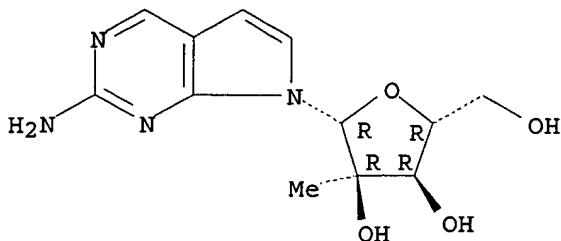
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibiting orthopoxvirus replication with nucleoside compds.)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 01 Aug 2003

ACCESSION NUMBER: 2003:590940 CAPLUS *for New*

DOCUMENT NUMBER: 139:133787

TITLE: Preparation of deazapurine nucleoside analogs as antiviral agents

INVENTOR(S): An, Haoyun; Ding, Yili; Chamakura, Varaprasad; Hong, Zhi

PATENT ASSIGNEE(S): Ribapharm Inc., USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

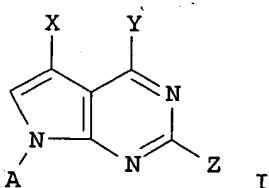
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061576	A2	20030731	WO 2003-US1545	20030117
WO 2003061576	A3	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003209285	A1	20030902	AU 2003-209285	20030117
PRIORITY APPLN. INFO.:			US 2002-350296P	P 20020117
			WO 2003-US1545	W 20030117

OTHER SOURCE(S): MARPAT 139:133787  
GI



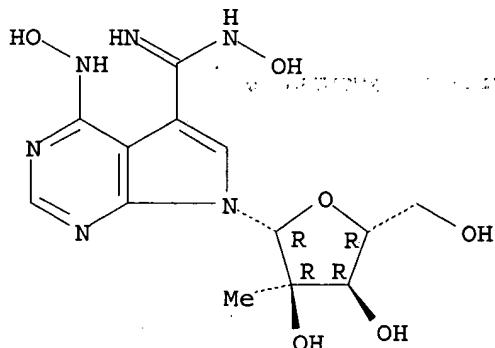
AB Methods, compns., and uses for various deazapurine nucleoside libraries and library compds. I are provided. Particularly preferred deazapurine nucleosides include 7-deazapurine nucleosides, 7-deaza-8-azapurine nucleosides, toyocamycin nucleoside analogs, 3-deazapurine nucleosides, and 9-deazapurine nucleosides, while preferred uses especially include use of such compds. as pharmacol., and particularly antiviral agents. 4-N,N-dimethylamino-7-( $\beta$ -D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine-5-N-hydroxycarbamidine was prepared and tested in vitro as antiviral agent.

IT 565455-29-0  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of deazapurine nucleoside analogs as antiviral agents)

RN 565455-29-0 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboximidamide, N-hydroxy-4-(hydroxyamino)-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

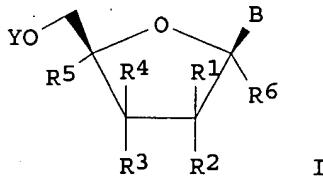


L5 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 26 Jul 2002  
 ACCESSION NUMBER: 2002:555629 CAPLUS  
 DOCUMENT NUMBER: 137:125359  
 TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase  
 INVENTOR(S): Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinossio, Charles J.; Prhavc, Marija; Prakash, Thazha P.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 235 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057425	A2	20020725	WO 2002-US1531	20020118
WO 2002057425	A3	20050421		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,			

UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433878	AA	20020725	CA 2002-2433878	20020118
US 2002147160	A1	20021010	US 2002-52318	20020118
US 6777395	B2	20040817		
CN 1498221	A	20040519	CN 2002-806977	20020118
JP 2004532184	T2	20041021	JP 2002-558479	20020118
EP 1539188	A2	20050615	EP 2002-709095	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004072788	A1	20040415	US 2003-431657	20030507
ZA 2003005078	A	20040521	ZA 2003-5078	20030630
US 2004067901	A1	20040408	US 2003-688691	20031017
US 2004110717	A1	20040610	US 2004-250873	20040116
US 7105499	B2	20060912		
US 2005272676	A1	20051208	US 2005-200499	20050809
US 2006205686	A1	20060914	US 2005-236224	20050927
RITY APPLN. INFO.:				
/A final				

OTHER SOURCE(S) : MARPAT 137:125359  
GI



AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycarbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl- $\beta$ -D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100  $\mu$ M. The compds.

of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 443642-48-6P

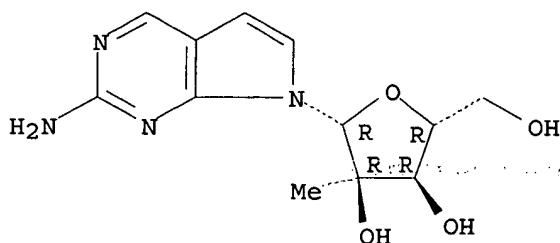
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:555511 CAPLUS

DOCUMENT NUMBER: 137:109450

TITLE: Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Maccoss, Malcolm; Olsen, David B.; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Prakash, Thazha P.; Prhavc, Marija; Song, Quanlai

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

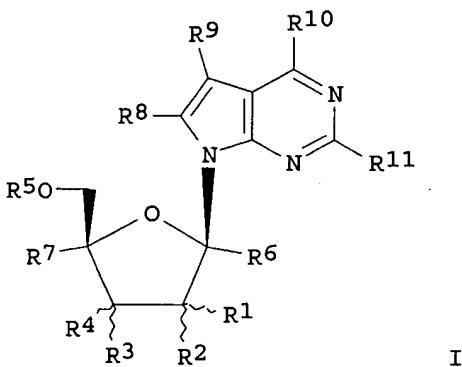
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057287	A2	20020725	WO 2002-US3086	20020118
WO 2002057287	A3	20021010		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434386	AA	20020825	CA 2002-2434386	20020118
US 2002147160	A1	20021010	US 2002-52318	20020118
US 6377395	B2	20040817		
BE 200300338	A	20031015	EE 2003-338	20020118
EP 1355916	A2	20031029	EP 2002-709299	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

in April

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006614	A	20040217	BR 2002-6614	20020118
CN 1498221	A	20040519	CN 2002-806977	20020118
JP 2004520367	T2	20040708	JP 2002-557963	20020118
NZ 526703	A	20041224	NZ 2002-526703	20020118
US 2004072788	A1	20040415	US 2003-431657	20030507
ZA 2003005078	A	20040521	ZA 2003-5078	20030630
BG 108000	A	20040831	BG 2003-108000	20030717
NO 2003003289	A	20030919	NO 2003-3289	20030721
US 2004067901	A1	20040408	US 2003-688691	20031017
US 2005272676	A1	20051208	US 2005-200499	20050809
US 2006205686	A1	20060914	US 2005-236224	20050927
PRIORITY APPLN. INFO.:				
			US 2001-263313P	P 20010122
			US 2001-282069P	P 20010406
			US 2001-299320P	P 20010619
			US 2001-344528P	P 20011025
			US 2002-52318	A3 20020118
			WO 2002-US3086	W 20020118
			US 2003-431657	B1 20030507
			US 2003-688691	A1 20031017

OTHER SOURCE(S): MARPAT 137:109450

GI



AB The present invention provides nucleoside compds. I, wherein R1 is alkenyl, alkynyl, alkyl, wherein alkyl is unsubstituted or substituted with hydroxy, amino, alkoxy, alkylthio, one to three fluorine atoms; R2 is hydrogen, fluorine, hydroxy, mercapto, alkoxy, alkyl; or R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered saturated monocyclic ring system optionally containing a heteroatom selected from O, S, and NC-alkyl; R3 and R4 are each independently hydrogen, cyano, azido, halogen, hydroxy, mercapto, amino, alkoxy, alkenyl, alkynyl, alkyl; R5 is hydrogen, alkylcarbonyl, phosphate; R6 and R7 are each independently hydrogen, Me, hydroxymethyl, or fluoromethyl; R8 is hydrogen, alkyl, alkynyl, halogen, cyano, carboxy, alkyloxycarbonyl, azido, amino, alkylamino, di(alkyl)amino, hydroxy, alkoxy, alkylthio, alkylsulfonyl, alkylaminomethyl, cycloheteroalkyl; R9 is hydrogen, cyano, nitro, alkyl, NHCONH<sub>2</sub>, amide, thioamide, ester, C(=NH)NH<sub>2</sub>, hydroxy, alkoxy, amino, alkylamino, di(alkyl)amino, halogen, (1,3-oxazol-2-yl), (1,3-thiazol-2-yl), or (imidazol-2-yl); R10 and R11 are each independently hydrogen, hydroxy, halogen, alkoxy, amino, alkylamino, di(alkyl)amino, cycloalkylamino, di(cycloalkyl)amino, cycloheteroalkyl, and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes

pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-7-(2-C-methyl- $\beta$ -D-arabinofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100  $\mu$ M. The nucleoside derivs. were also screened for cytotoxicity against cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon in an MTS cell-based assay.

IT 443642-48-6P 443643-13-8P

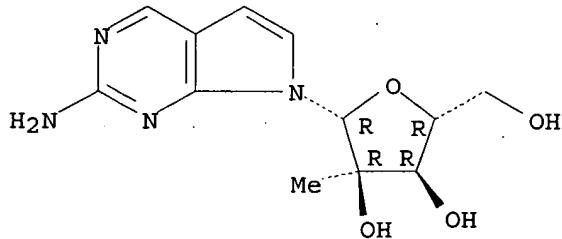
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 443642-48-6 CAPPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

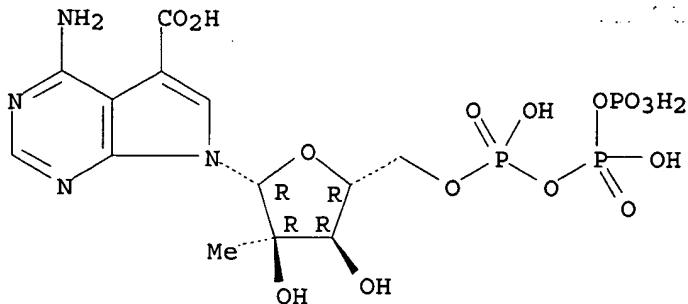
Absolute stereochemistry.



RN 443643-13-8 CAPPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxylic acid, 4-amino-7-[5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]- (9CI) (CA INDEX NAME)

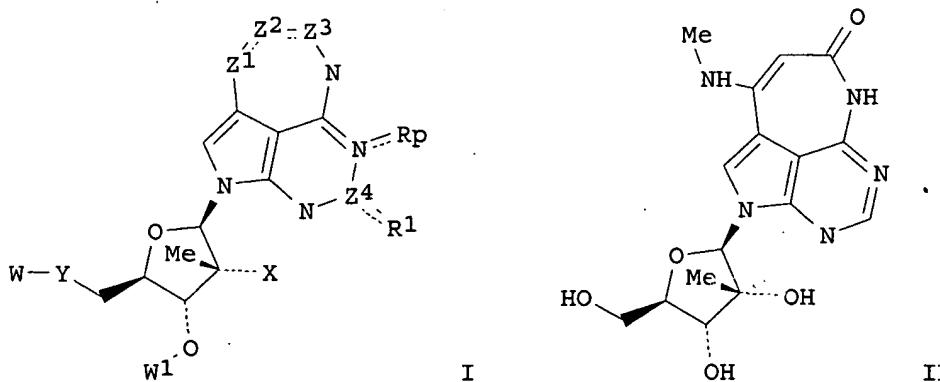
Absolute stereochemistry.



**TITLE:** Preparation of tricyclic nucleoside prodrugs for  
treating viral infections  
**INVENTOR(S):** Keicher, Jesse Daniel; Roberts, Christopher Don  
**PATENT ASSIGNEE(S):** Genelabs Technologies, Inc., USA  
**SOURCE:** U.S. Pat. Appl. Publ., 63pp.  
**CODEN:** USXXCO  
**DOCUMENT TYPE:** Patent  
**LANGUAGE:** English  
**FAMILY ACC. NUM. COUNT:** 1  
**PATENT INFORMATION:**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006194749	A1	20060831	US 2006-365170	20060228
WO 2006093986	A1	20060908	WO 2006-US7131	20060228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
WO 2006093987	A1	20060908	WO 2006-US7132	20060228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2005-657463P P 20050228  
GI



AB Tricyclic nucleoside prodrugs I, wherein the delocalized bond may be single or double bond; the bond between N and Rp is a single bond or no bond; p is 0 or 1; R is H, alkyl, cycloalkyl; R1 is H, alkyl, alkyl,

alkoxy, thiol, alkylthio-ether, =O, =S; Z1-Z3 are independently CH, CH<sub>2</sub>, substituted C or CH, N; Z4 is C, N; Y is bond, CH<sub>2</sub>, O; X is OH, O-alkyl; W and W1 are independently H, alkyl; were prepared for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Tablet, capsule, suppository, injectable, and suspension formulations are reported. Thus, tricyclic nucleoside II was prepared and tested as antiviral agent against hepatitis C virus. Cloning and expression of recombinant HCV-NS5b was reported. Title nucleosides were used in pharmaceutical combination chemotherapy composition of one or more agents active against HCV consisting of Ribavirin, levovirin, viramidine, thymosin $\alpha$ 1, an inhibitor of NS3 serine protease, and inhibitor of inosine monophosphate dehydrogenase, interferon $\alpha$  pegylated interferon $\alpha$  alone or in combination with viramidine, Ribavirin or levovirin..

IT 847551-17-1P

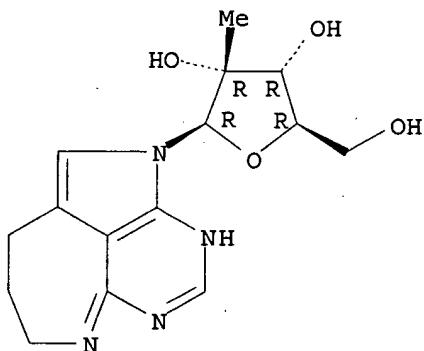
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic nucleoside prodrugs for treating viral infections)

RN 847551-17-1 CAPLUS

CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P

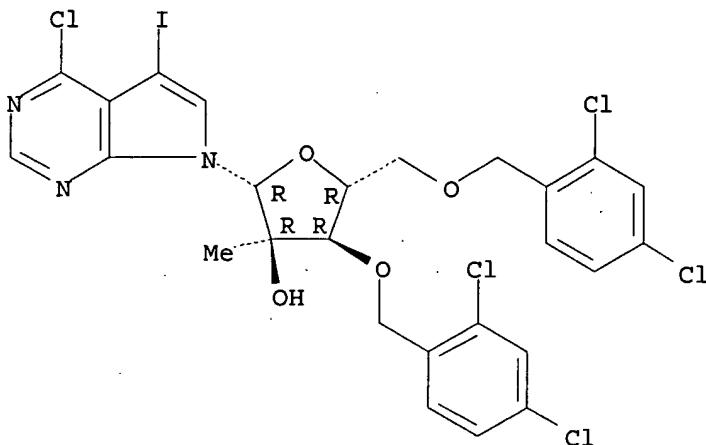
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic nucleoside prodrugs for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ed ibib abs hitstr 2-23

L5 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 26 May 2006 *100 New*  
 ACCESSION NUMBER: 2006:494221 CAPLUS  
 DOCUMENT NUMBER: 145:8396  
 TITLE: Preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral infections  
 INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina, Natalia B.  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 23 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

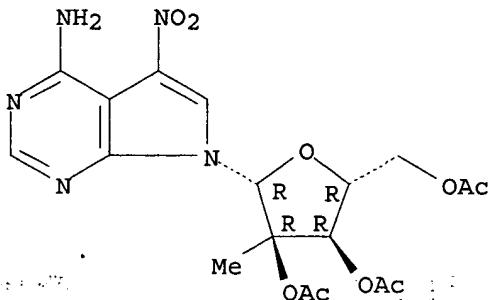
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006111311	A1	20060525	US 2005-280984	20051115
PRIORITY APPLN. INFO.:			US 2004-630453P	P 20041122
OTHER SOURCE(S):	MARPAT	145:8396		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Nucleoside analogs I, wherein Y is a bond, -CH<sub>2</sub>-, or -O-; W-W<sub>2</sub> are independently H, acyl, oxyacyl, phosphonate, phosphate esters, phosphonamidate, phosphorodiamidate, phosphoramidate monoester, cyclic phosphoramidate, cyclic phosphorodiamidate, phosphoramidate diester, and -C(O)CHR<sub>1</sub>NHR<sub>2</sub>, where R<sub>1</sub> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and a side-chain of an amino acid; or R<sub>1</sub> and R<sub>2</sub> together with the carbon and nitrogen atoms bound thereto resp. form a heterocyclic ring compns. are prepared and useful in the treatment of viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, II was prepared and tested as an antiviral agent against Hepatitis C virus in an HCV-NS5b enzyme assay (IC<sub>50</sub> = 2.6  $\mu$ M).

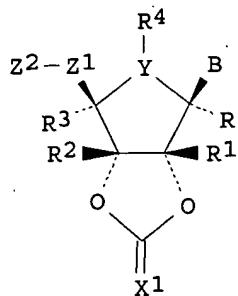
IT 887748-00-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral infections)  
 RN 887748-00-7 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-nitro-7-(2,3,5-tri-O-acetyl-2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

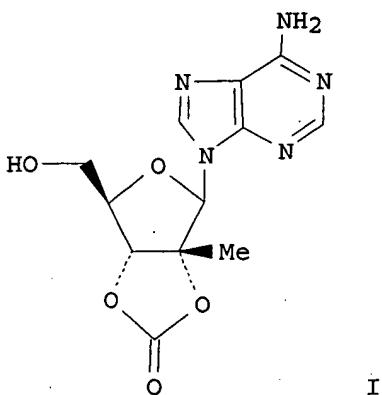


L5 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 30 Mar 2006 *Now*  
 ACCESSION NUMBER: 2006:296019 CAPLUS *To*  
 DOCUMENT NUMBER: 144:312290  
 TITLE: Preparation of nucleoside derivatives as antiviral, antitumor, and antidiabetic prodrug agents  
 INVENTOR(S): Reddy, Raja K.; Erion, Mark D.  
 PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 255 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006033709	A2	20060330	WO 2005-US27235	20050729
WO 2006033709	A3	20060831		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2005182252	A1	20050818	US 2004-903215	20040729
PRIORITY APPLN. INFO.:			US 2004-903215	A 20040729
			US 2005-652527P	P 20050211
			US 2004-544743P	P 20040213
OTHER SOURCE(S): GI		MARPAT 144:312290		



I



II

AB Nucleoside derivs. I, wherein X1 is O, S, SO, substituted nitrogen; B is heterocycle, nucleobase; Y is O, S, N, substituted C, CH2; R and R1 are independently H, alkyl, alkenyl, alkynyl, R2 is H, alkyl, alkenyl, alkynyl, alkylamino, cycloalkyl-amino, halogen, alkoxy; R3 is H, halogen, alkyl, alkoxy, alkenyl-oxy, alkylthio, alkylcarbonyl-oxy, aryloxy-carbonyl, azido, amino, alkylamino; R4 is H, alkyl, alkenyl, alkynyl, OH, alkoxy, halogen, CN, were prepared and tested in vitro and in rats for the treatment of viral diseases including hepatitis C viral infection, cancer, diabetes, and other diseases. The activation of prodrug analogs to NMP was evaluated in the microsomal fraction of human liver. The HepDirect-carbonate prodrugs evaluated were activated to the corresponding NMP in human liver microsomes, indicating that the enzymes required for removal of both the HepDirect and the carbonate prodrug moieties are present in this reaction system. Thus, nucleoside II was prepared via coupling and hydrogen transfer reactions and tested in vitro and in rats as antiviral, antitumor, and antidiabetic prodrug agents. The oral bioavailability (OBAV) of the free nucleoside is very low (<5 %) whereas the OBAV of its carbonate prodrugs are >20 %. The compds. of the present invention may also be administered in combination with an agent that is an inhibitor of HCV NS3 serine protease.

IT 879493-30-8P 879493-53-5P 879493-54-6P

879494-08-3P 879494-10-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. via coupling and hydrogen transfer reactions as antiviral, antitumor, and antidiabetic prodrug agents)

RN 879493-30-8 CAPLUS

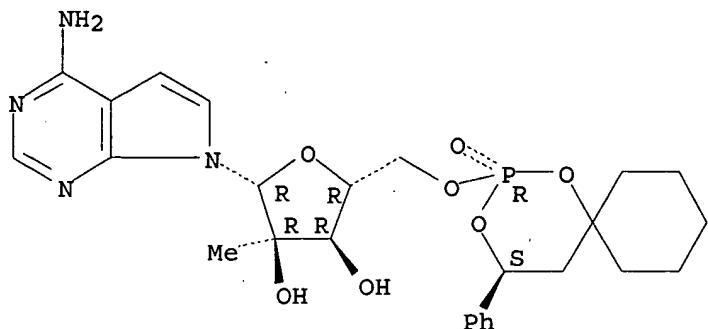
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[2-C-methyl-5-O-[(2R,4S)-2-oxido-4-phenyl-1,3-dioxa-2-phosphaspiro[5.5]undec-2-yl]-β-D-ribofuranosyl]-, trifluoroacetate (5:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 879493-29-5

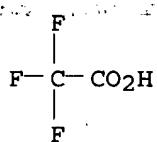
CMF C26 H33 N4 O7 P

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

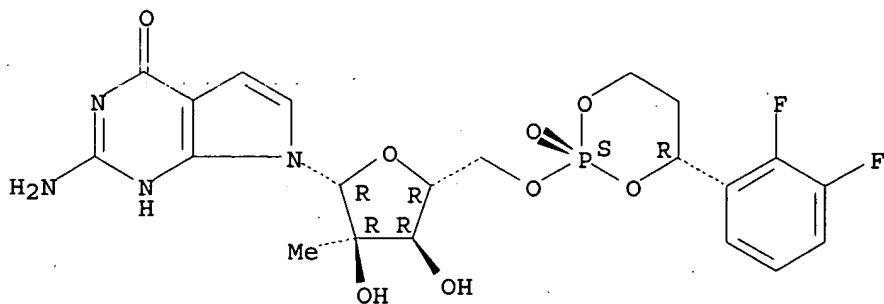


RN 879493-53-5 CAPLUS  
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(2,3-difluorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

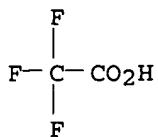
CRN 862189-18-2  
CMF C21 H23 F2 N4 O8 P

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 879493-54-6 CAPLUS

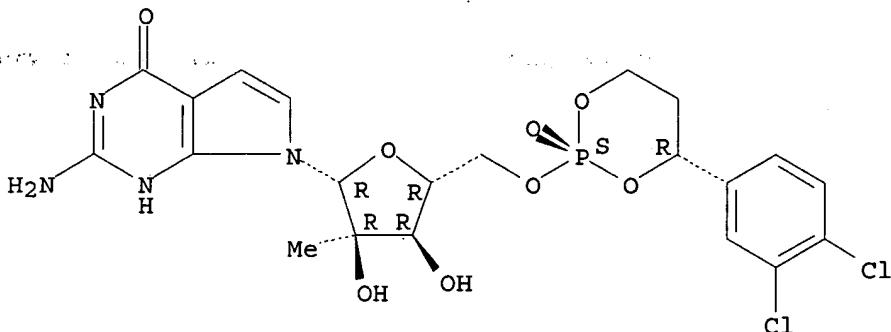
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(3,4-dichlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, trifluoroacetate (5:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862189-20-6

CMF C21 H23 Cl2 N4 O8 P

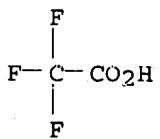
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 879494-08-3 CAPLUS

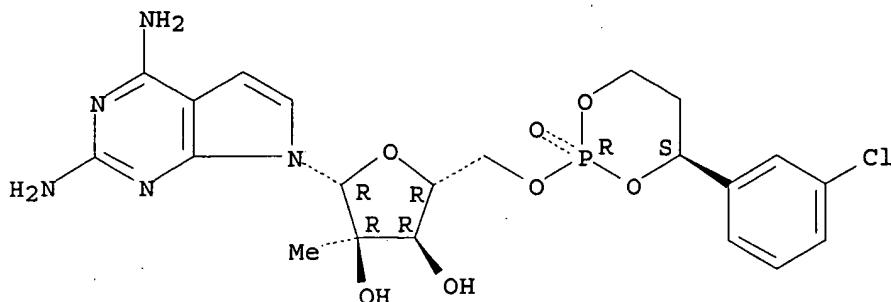
CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[5-O-[(2R,4S)-4-(3-chlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 879494-07-2

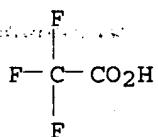
CMF C21 H25 Cl N5 O7 P

Absolute stereochemistry.



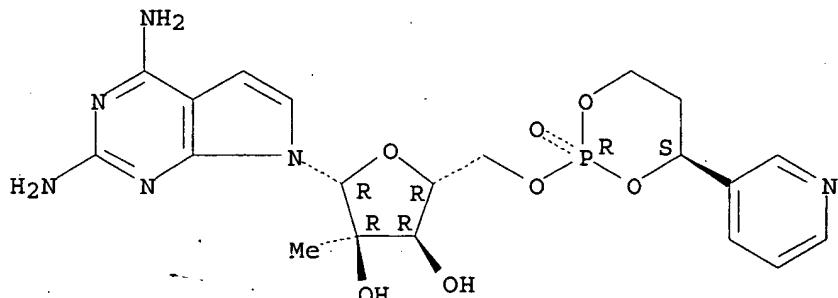
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 879494-10-7 CAPLUS  
CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[2-C-methyl-5-O-[(2R,4S)-2-oxido-4-(3-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

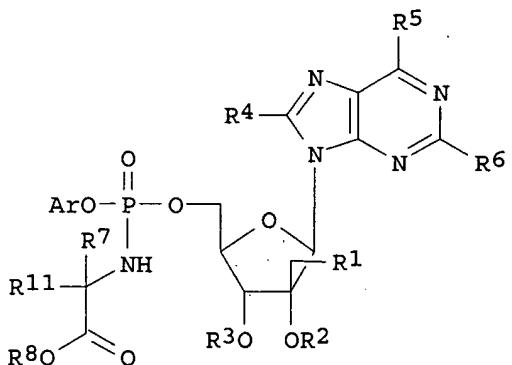


L5 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 03 Feb 2006 *to New*  
ACCESSION NUMBER: 2006:100316 CAPLUS  
DOCUMENT NUMBER: 144:192451  
TITLE: Preparation of nucleoside aryl phosphoramidates for use as an inhibitor of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections  
INVENTOR(S): Maccoss, Malcolm; Olsen, David B.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 40 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012078	A2	20060202	WO 2005-US21684	20050620
WO 2006012078	A3	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2004-582667P	P 20040624
			US 2004-619746P	P 20041018
OTHER SOURCE(S):	MARPAT 144:192451			

GI



AB Nucleoside aryl phosphoramidates I, wherein Y is (un)substituted C or N; Ar is (un)substituted Ph; R1 is hydrogen, fluoro, azido, amino, hydroxy, C1-3 alkoxy, mercapto, and C1-3 alkylthio; R2 and R3 are each independently selected from the group consisting of hydrogen, Me, C1-16 alkylcarbonyl, C2-18 alkenylcarbonyl, C1-10 alkyloxycarbonyl, C3-6 cycloalkylcarbonyl, and C3-6 cycloalkyloxycarbonyl; R4 is hydrogen, halogen, Me, azido, or amino; R5 and R6 are each independently selected from the group consisting of hydrogen, hydroxy, halogen, C1-4 alkoxy, amino, C1-4 alkylamino, di(C1-4 alkyl)amino, C3-6 cycloalkylamino, di(C3-6 cycloalkyl)amino, benzylamino, dibenzylamino, or C4-6 heterocycloalkyl, wherein alkyl, cycloalkyl, benzyl, and heterocycloalkyl; R7 is hydrogen, C1-5 alkyl, (un)substituted Ph or benzyl; R8 is hydrogen, C1-6 alkyl, C3-6 cycloalkyl, (un)substituted Ph or benzyl; R9 is hydrogen or Me, were prepared as precursors to inhibitors of RNA-dependent RNA viral polymerase. Nucleoside aryl phosphoramidates, I, alone or in combination with other agents active against RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection. Thus, II was prepared (no yield) and tested as an inhibitor of hepatitis C virus (HCV) NS5B polymerase, as precursors to inhibitors of HCV replication, and/or for the treatment of hepatitis C infection (EC50 less than 100  $\mu$ M).

IT 874883-62-2P 874883-68-8P

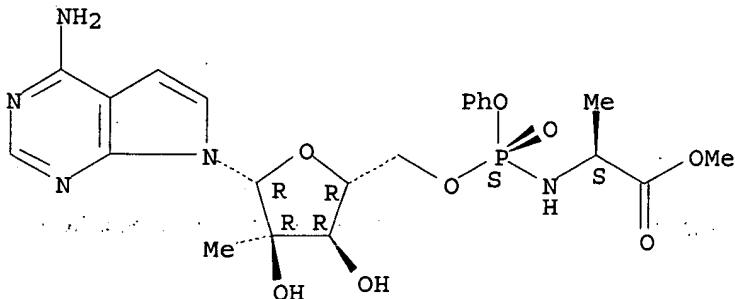
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside aryl phosphoramidates for use as an inhibitors of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections)

RN 874883-62-2 CAPLUS

CN L-Alanine, N-[(S)-[1-(4-amino-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-1-deoxy-2-C-methyl-β-D-ribofuranos-5-O-yl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

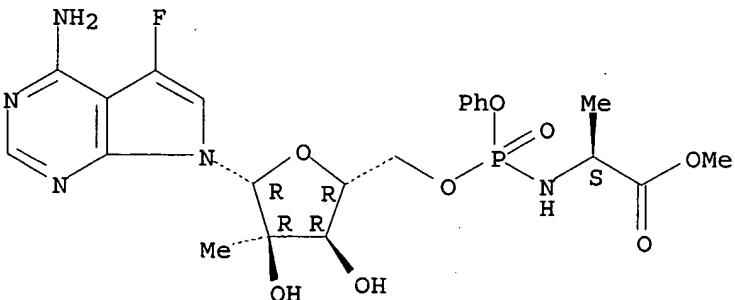
Absolute stereochemistry.



RN 874883-68-8 CAPLUS

CN L-Alanine, N-[(1-(4-amino-5-fluoro-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-1-deoxy-2-C-methyl-β-D-ribofuranos-5-O-yl)phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 30 Sep 2005

ACCESSION NUMBER: 2005:1050841 CAPLUS

DOCUMENT NUMBER: 143:326574

TITLE: Preparation of nucleosides as prodrugs and antiviral agents

INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina, Natalia B.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S. Ser. No. 861,311.

CODEN: USXXCO

DOCUMENT TYPE: Patent

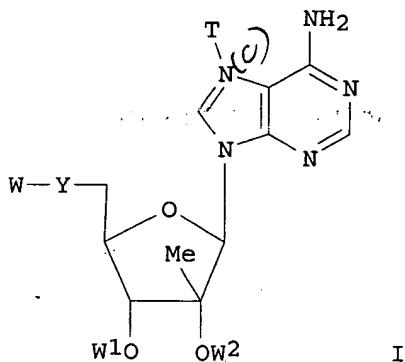
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

*Bob Neale*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215511	A1	20050929	US 2004-971477	20041021
US 2005090463	A1	20050428	US 2004-861311	20040604
US 2005101550	A1	20050512	US 2004-861219	20040604
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P 20031027
			US 2004-861090	A2 20040604
			US 2004-861219	A2 20040604
			US 2004-861311	A2 20040604
			US 2004-602815P	P 20040818
OTHER SOURCE(S):	MARPAT 143:326574			
GI				



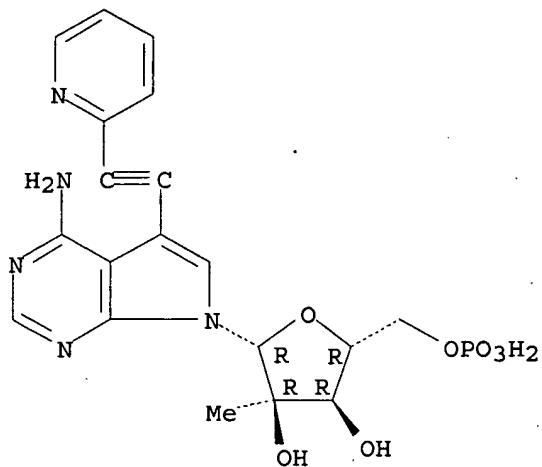
AB Nucleosides I, wherein Y is bond, CH<sub>2</sub>, O; W-W2 are independently H, pharmaceutically acceptable prodrug; T is substituted alkyne, substituted alkene, were prepared and used for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, 7-(2'-C-methyl-β-D-ribofuranosyl)-4-amino-5-(2'-trimethylsilyl lethyn-1-yl)-pyrrolo[2,3-d]pyrimidin-4-amine was prepared and tested in vitro as antiviral agent against hepatitis C virus (replicon assay, % inhibition value range 35.8 - 98.2 μM).

IT 850338-32-8P 865481-58-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of nucleosides as prodrugs and antiviral agents)

RN 850338-32-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-O-phosphono-β-D-ribofuranosyl)-5-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

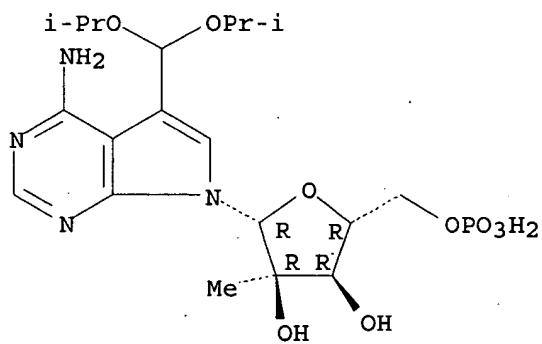
Absolute stereochemistry.



RN 865481-58-9 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-[bis(1-methylethoxy)methyl]-7-[2-C-methyl-5-O-phosphono-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P

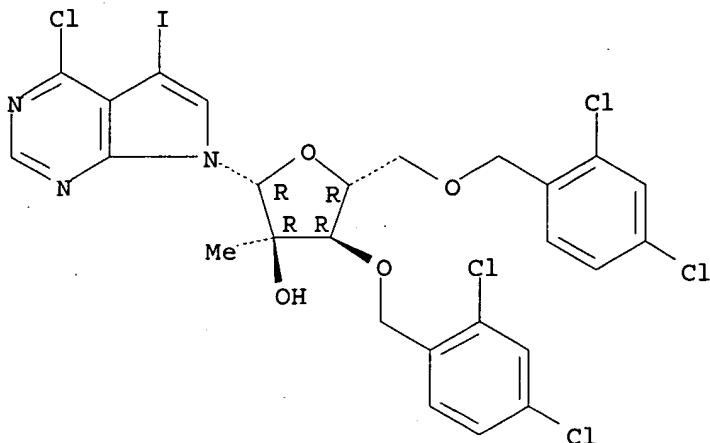
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleosides as prodrugs and antiviral agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 30 Sep 2005 *for Me*

ACCESSION NUMBER: 20051050840 CAPLUS

DOCUMENT NUMBER: 143:326573

TITLE:

Methods for preparing 7-(2'-substituted- $\beta$ -D-ribofuranosyl)-4-(NR<sub>2</sub>R<sub>3</sub>)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivatives as antiviral agents

INVENTOR(S):

Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina, Natalia B.

PATENT ASSIGNEE(S):

Genelabs Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 861,311.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

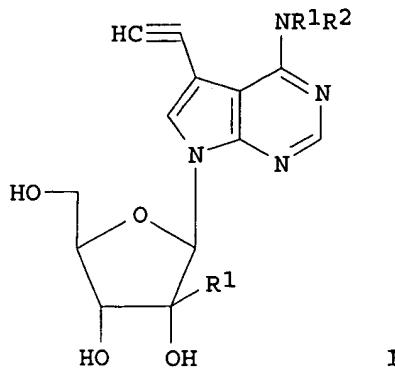
FAMILY ACC. NUM. COUNT:

5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215510	A1	20050929	US 2004-970641	20041020
US 2005090463	A1	20050428	US 2004-861311	20040604
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P 20031027
			US 2004-861090	A2 20040604
			US 2004-861311	A2 20040604
			US 2004-602815P	P 20040818

OTHER SOURCE(S): CASREACT 143:326573; MARPAT 143:326573  
GI



AB 7-(2'-Substituted- $\beta$ -D-ribofuranosyl)-4-(NR<sub>2</sub>R<sub>3</sub>)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. I, wherein R<sub>1</sub> is alkyl, alkenyl, alkynyl; R<sub>2</sub> and R<sub>3</sub> are independently H, alkyl, amino, OH, alkoxy, formyl, acyl; NR<sub>2</sub>R<sub>3</sub> form heterocyclic, were prepared as antiviral agents. These compds. are useful in treating viral infections caused by a flaviviridae family virus, such as hepatitis C virus (IC<sub>50</sub> ranges from 0.09 to >50  $\mu$ M). Thus, I (R<sub>1</sub> = Me, R<sub>2</sub> = R<sub>3</sub> = H) was prepared and tested in vitro as antiviral agent (IC<sub>50</sub> = 0.09  $\mu$ M).

IT 847551-25-1P

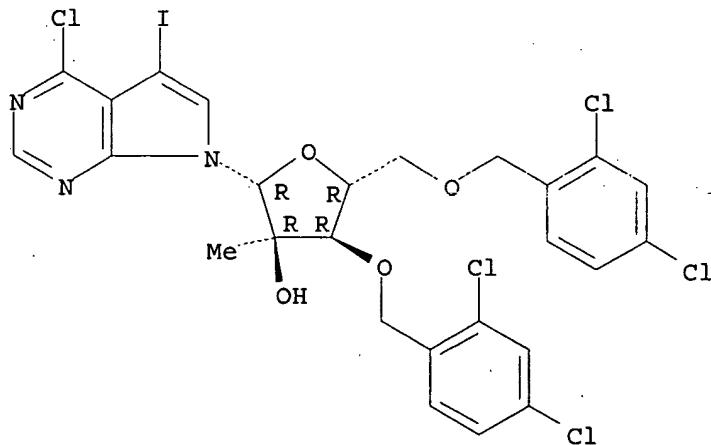
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods for preparing 7-(2'-substituted- $\beta$ -D-ribofuranosyl)-4-(NR<sub>2</sub>R<sub>3</sub>)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. as antiviral agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 19 Aug 2005

ACCESSION NUMBER: 2005-824501 CAPLUS

DOCUMENT NUMBER: 143:212123

TITLE: Preparation of 2'-C-methyl nucleoside derivatives and their uses for the treatment of hepatitis C viral infection

INVENTOR(S): Reddy, K. Raja; Erion, Mark D.

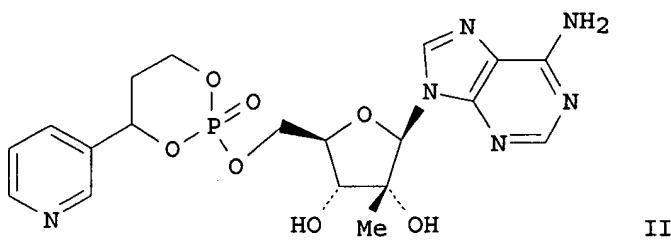
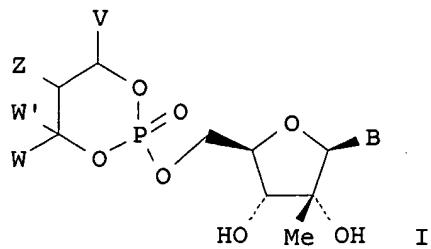
PATENT ASSIGNEE(S): USA

for New

SOURCE: U.S. Pat. Appl. Publ., 84 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005182252	A1	20050818	US 2004-903215	20040729
WO 2005084192	A2	20050915	WO 2005-US4447	20050214
WO 2005084192	A3	20060511		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2006033709	A2	20060330	WO 2005-US27235	20050729
WO 2006033709	A3	20060831		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2004-544743P	P 20040213
			US 2004-903215	A 20040729
			US 2005-652527P	P 20050211

OTHER SOURCE(S): MARPAT 143:212123  
 GI



AB 2'-C-Me nucleosides I, wherein B is purine nucleobase; V is monocyclic aryl, monocyclic heteroaryl; W and W' are independently monocyclic aryl, monocyclic heteroaryl, H, alkyl, heterocycloalkyl, aralkyl; Z is CN, acyl, amide, carboxylate, sulfonyl, sulfonamide, OH, sulfide, alkyl, aryl, heterocycloalkyl, aralkyl, thio-ester; V and Z are connected via an addnl. 3-5 atoms to form a cyclic group optionally containing hetero-atom; Z and W are connected via an addnl. 3-5 atoms to form a cyclic group optionally containing hetero-atom; W and W' are connected via an addnl. 2-5 atoms to form a cyclic group optionally containing 0-2 hetero-atoms, were prepared and used for the treatment of hepatitis C viral infection. Thus, nucleoside II was prepared and tested in mice as hepatitis C antiviral agent. The prodrug analogs are tested for activation in human liver microsomes and in rat liver microsomes activation (250  $\mu$ M). Nucleoside analogs and their prodrugs were evaluated for their ability to generate NTPs in freshly isolated rat hepatocytes. It is generally accepted that the NTP (0.1-160 nmol/g) form of a nucleoside is the active antiviral agent.

IT 862189-24-0P

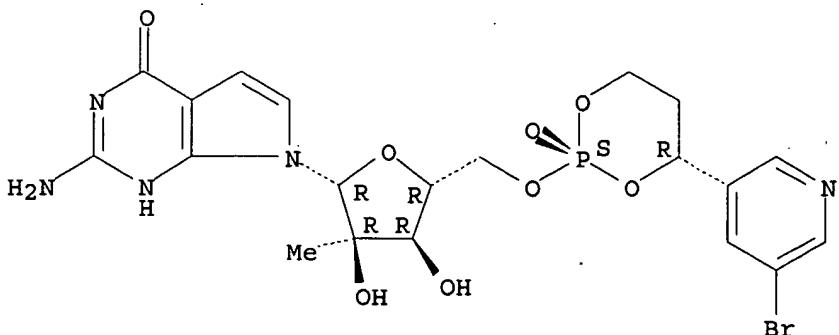
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2'-C-Me nucleoside derivs. and their uses for the treatment of hepatitis C viral infection)

RN 862189-24-0 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(5-bromo-3-pyridinyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 03 Jun 2005  
 ACCESSION NUMBER: 2005-474924 CAPLUS  
 DOCUMENT NUMBER: 143:7941

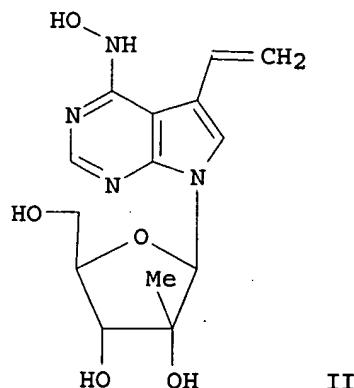
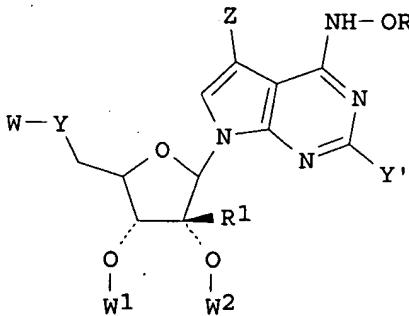
100 New

TITLE: Preparation of nucleoside derivatives for treating Hepatitis C virus infection  
 INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse; Dyatkina, Natalia B.  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 676,956.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119200	A1	20050602	US 2004-821638	20040408
US 7094768	B2	20060822		
US 2004147464	A1	20040729	US 2003-676956	20030930
WO 2006075993	A2	20060720	WO 2005-US11348	20050401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2002-415222P P 20020930  
 US 2003-443169P P 20030129  
 US 2003-676956 A2 20030930  
 US 2004-821638 A 20040408

OTHER SOURCE(S): CASREACT 143:7941; MARPAT 143:7941  
 GI



AB Disclosed are nucleosides I, wherein W-W2 are independently hydrogen and a pharmaceutically acceptable prodrug; R is hydrogen, alkyl; R1 is hydrogen,

alkyl, alkenyl, alkynyl, alkynyl; Y is a bond, CH<sub>2</sub>, O; Y' is hydrogen, halo, hydroxyl, thio-alkyl, amino; Z is acyl, cyano, carboxyl, carboxyl ester, amide, halo, B(OH)<sub>2</sub>, imine, nitro, alkenyl, acetylenyl and methods for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, nucleoside II was prepared and used for the treatment of Hepatitis C virus infection. In general, compds. of this invention will be administered as pharmaceutical compns. by any one of the following routes: oral, systemic (e.g., transdermal, intranasal or by suppository), or parenteral (e.g., i.m., i.v. or s.c.) administration. The preferred manner of administration is oral using a convenient daily dosage regimen that can be adjusted according to the degree of affliction. Compns. can take the form of tablets, pills, capsules, semi-solids, powders, sustained release formulations, solns., suspensions, elixirs, aerosols, or any other appropriate compns. Another preferred manner for administering compds. of this invention is inhalation. This is an effective method for delivering a therapeutic agent directly to the respiratory tract, in particular for the treatment of diseases such as asthma and similar or related respiratory tract disorders.

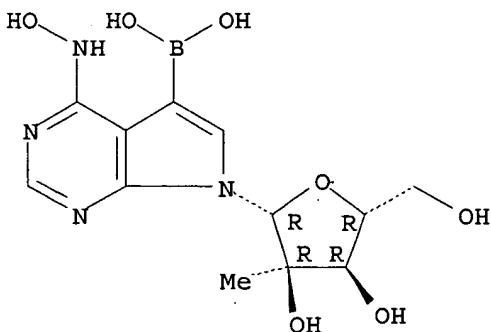
IT 852235-73-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of nucleoside derivs. for treating Hepatitis C virus infection)

RN 852235-73-5 CAPLUS

CN Boronic acid, [4-(hydroxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidin-5-yl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS OR STN *Kew*  
 ED Entered STN 20 May 2005  
 ACCESSION NUMBER: 2005:431387 CAPLUS  
 DOCUMENT NUMBER: 142:447384  
 TITLE: Preparation of amino acid-containing nucleosides for treating viral infections  
 INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina, Natalia B.  
 PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 861,090.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.

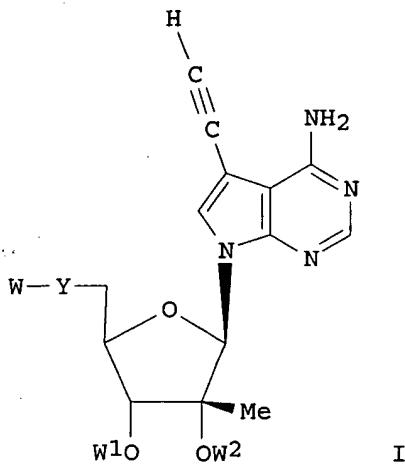
KIND DATE

APPLICATION NO.

DATE

US 2005107312	A1	20050519	US 2004-970321	20041020
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P	P 20031027
			US 2004-861090	A2 20040604
			US 2004-602815P	P 20040818

OTHER SOURCE(S): MARPAT 142:447384  
GI



AB Disclosed are nucleosides I, wherein Y is bond, -CH<sub>2</sub>- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = O, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC<sub>50</sub> values range from 0.09 to > 20 μM).

IT 851387-67-2P

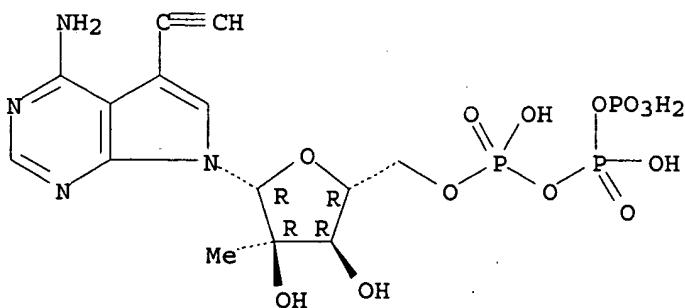
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-2-C-methyl-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

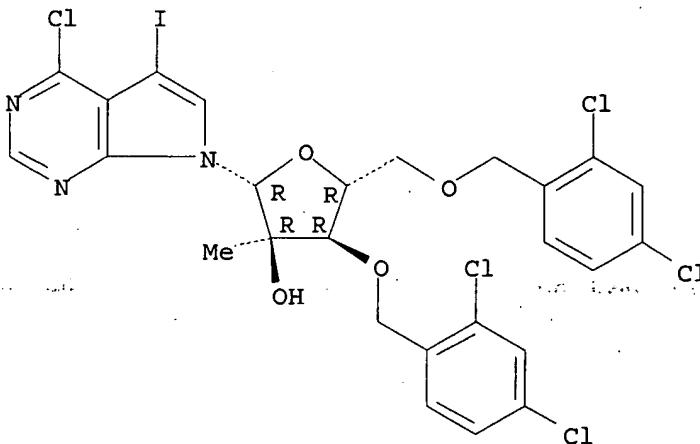


IT 847551-25-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of amino acid-containing nucleosides for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



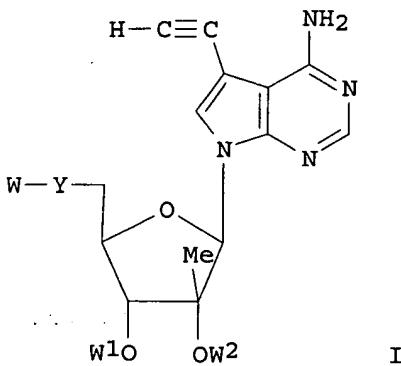
L5 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN (700 new)  
ED Entered STN: 13 May 2005  
ACCESSION NUMBER: 2005:409541 CAPLUS  
DOCUMENT NUMBER: 142:463969  
TITLE: Preparation of amino acid-containing nucleosides for treating viral infections  
INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher Don; Dyatkina, Natalia B.  
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA  
SOURCE: PCT Int. Appl., 65 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042556	A1	20050512	WO 2004-US34955	20041020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006079468	A1	20060413	US 2004-861090	20040604
AU 2004285923	A1	20050512	AU 2004-285923	20041020
CA 2542776	AA	20050512	CA 2004-2542776	20041020
EP 1680436	A1	20060719	EP 2004-810014	20041020

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.: US 2003-515153P P 20031027  
US 2004-861090 A 20040604  
US 2004-602815P P 20040818  
WO 2004-US34955 W 20041020

OTHER SOURCE(S): MARPAT 142:463969  
GI



I

AB Disclosed are nucleosides I, wherein Y is bond, -CH<sub>2</sub>- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = O, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC<sub>50</sub> values range from 0.09 to > 20  $\mu$ M).

IT 851387-67-2P

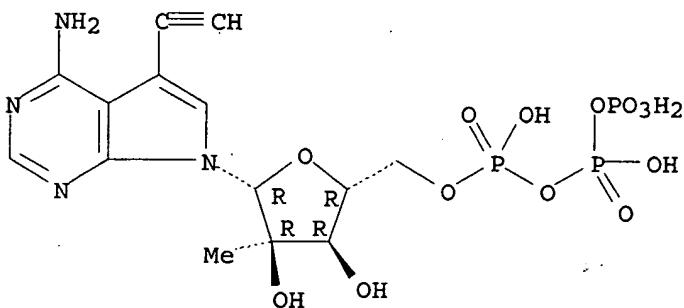
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-O-[hydroxy[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-2-C-methyl- $\beta$ -D-ribofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P

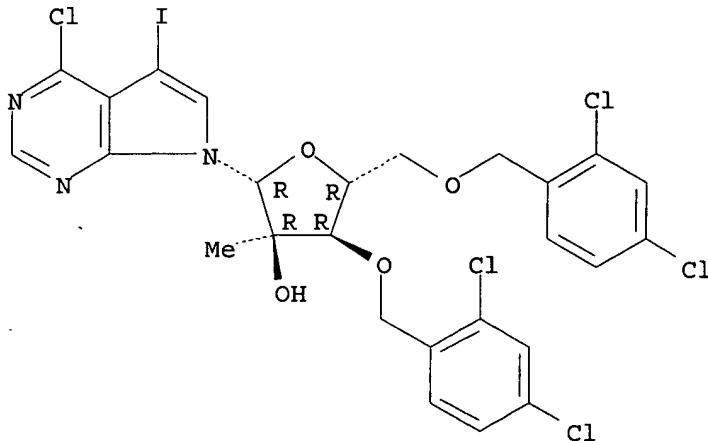
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 29 Apr 2005 *for New*

ACCESSION NUMBER: 2005:369125 CAPLUS

DOCUMENT NUMBER: 142:411590

TITLE: Preparation of nucleosides for treating viral infections caused by a Flaviviridae family virus

INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 37 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005090463	A1	20050428	US 2004-861311	20040604
CA 2543116	AA	20050519	CA 2004-2543116	20041020
WO 2005044835	A1	20050519	WO 2004-US34756	20041020
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005215510	A1	20050929	US 2004-970641	20041020
EP 1682564	A1	20060726	EP 2004-795860	20041020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
AU 2004295291	A1	20050616	AU 2004-295291	20041021
CA 2543090	AA	20050616	CA 2004-2543090	20041021
WO 2005054268	A1	20050616	WO 2004-US35271	20041021

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 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
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 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
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 SN, TD, TG

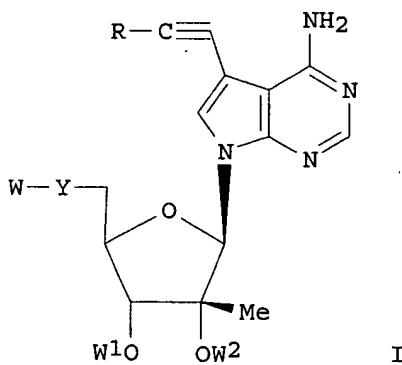
US 2005215511 A1 20050929 US 2004-971477 20041021  
 EP 1687321 A1 20060809 EP 2004-817811 20041021

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.:

US 2003-515153P	P	20031027
US 2004-861090	A	20040604
US 2004-861219	A	20040604
US 2004-861311	A	20040604
US 2004-602815P	P	20040818
WO 2004-US34756	W	20041020
WO 2004-US35271	W	20041021

OTHER SOURCE(S): MARPAT 142:411590  
 GI



AB Disclosed are nucleosides I, wherein selected from the group consisting of silyl, amide, alkoxyalkyl, heteroaryl, substituted Ph, alkenyl, alkynyl, alkoxy, acyl, acylamino, acyloxy, aminoacyl, amidino, amino, carboxyl, carboxyl ester, cyano, cycloalkyl, cyclo-alkoxy, guanidino, halo, heteroaryl, hydrazino, hydroxyl, nitro, thiol, sulfonyl; and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (R = CONH<sub>2</sub>, Y = O, W-W<sub>2</sub> = H) was prepared and tested as antiviral agent against Hepatitis C virus. Y is CH or O; each of W-W<sub>2</sub> is independently hydrogen and a pharmaceutically acceptable prodrug; R is. Title nucleosides in combination with the administration of a therapeutically effective amount of one or more agents active against HCV are reported.

IT 850338-32-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

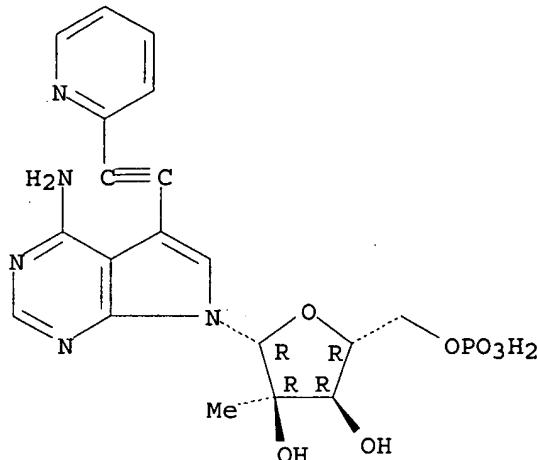
(preparation of nucleosides for treating viral infections caused by flaviviridae family virus)

RN 850338-32-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-O-phosphono-β-D-

ribofuranosyl)-5-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



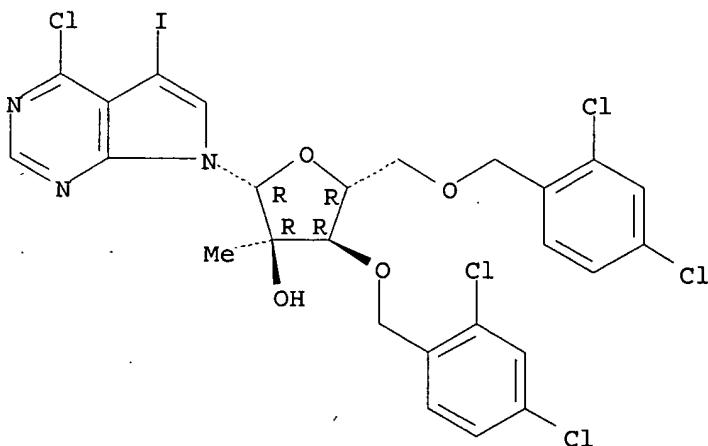
IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of nucleosides for treating viral infections caused by flaviviridae family virus)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN *for new*  
ED Entered STN: 11 Mar 2005

ACCESSION NUMBER: 2005:216831 CAPLUS

DOCUMENT NUMBER: 142:298286

TITLE: Preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents

INVENTOR(S): Cook, Phillip Dan; Ewing, Gregory; Jin, Yi; Lambert, John; Prhavc, Marija; Rajappan, Vasanthakumar; Rajwanshi, Vivek K.; Sakthivel, Kandasamy

PATENT ASSIGNEE(S): Biota, Inc., USA

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

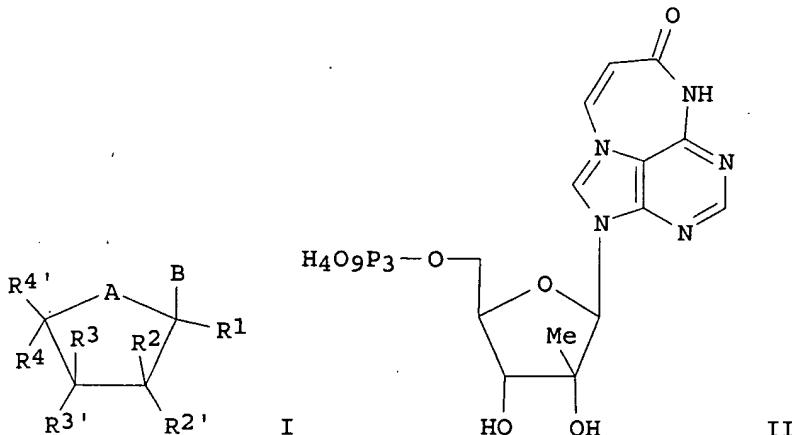
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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021568	A2	20050310	WO 2004-US27819	20040827
WO 2005021568	B1	20040609		
WO 2005021568	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004269026	A1	20050310	AU 2004-269026	20040827
CA 2537114	AA	20050310	CA 2004-2537114	20040827
EP 1660511	A2	20060531	EP 2004-782317	20040827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
NO 2006000979	A	20060502	NO 2006-979	20060228
PRIORITY APPLN. INFO.:			US 2003-498425P	P 20030827
			WO 2004-US27819	W 20040827

OTHER SOURCE(S): MARPAT 142:298286

GI



AB Nucleosides and nucleotides containing a tricyclic base portion I, wherein A is O, S, CH<sub>2</sub>, NH, CHF, CF<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub>, R<sub>2'</sub>, R<sub>3</sub>, R<sub>3'</sub>, R<sub>4</sub> are independently H, F, Cl, iodo, Br, OH, SH, NH<sub>2</sub>, NHOH, NHNH<sub>2</sub>, N<sub>3</sub>, COOH, CN, CONH<sub>2</sub>, CSNH<sub>2</sub>, COOR, R, OR, SR, SSR, NHR, NR<sub>2</sub>; R<sub>4'</sub> is L-R<sub>5</sub>; L is O, S, NH, NR, CY<sub>2</sub>S, CY<sub>2</sub>NH, CY<sub>2</sub>, CY<sub>2</sub>CY<sub>2</sub>, CY<sub>2</sub>OCY<sub>2</sub>, CY<sub>2</sub>SCY<sub>2</sub>, CY<sub>2</sub>NHCY<sub>2</sub>; Y is H, F, Cl, Br, alkyl, alkenyl, alkynyl, R<sub>4'</sub> is OH, monophosphate, diphosphate, triphosphate; B is substituted tricyclic nucleobase derivs.; R is alkyl, alkenyl, alkynyl, aryl, acyl, aralkyl; thereof are useful for treating infectious diseases and proliferative disorders, such as viral infections or cancer resp. Thus, nucleotide II was prepared and tested in vitro as polymerase inhibitor, antiviral, and antitumor therapeutic agent. Title compds. were

typically cytotoxic in the range of 30 to > 100  $\mu$ M. II showed inhibitory of NS5B in the range of 100 to >1000 nM. Selected examples displayed IC<sub>50</sub> values in the range of to 100 nM.

IT 847551-17-1P

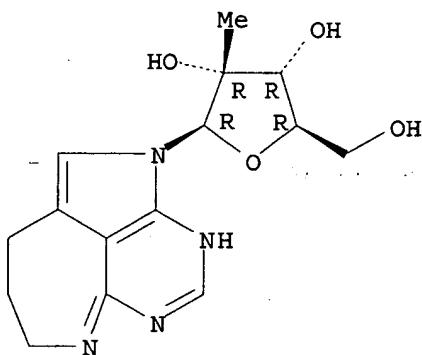
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

RN 847551-17-1 CAPLUS

CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847551-25-1P 847551-73-9P

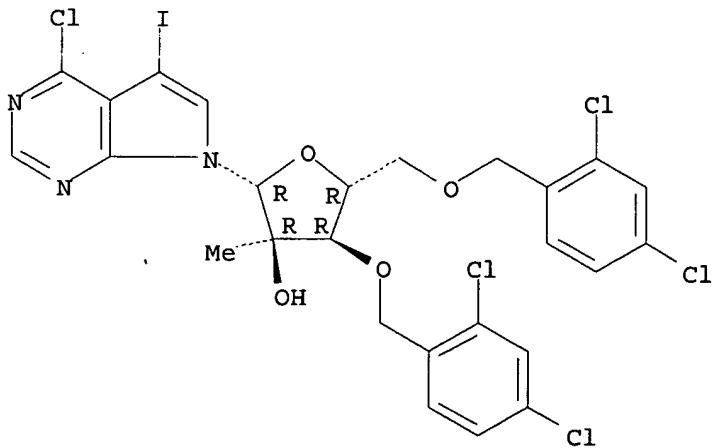
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

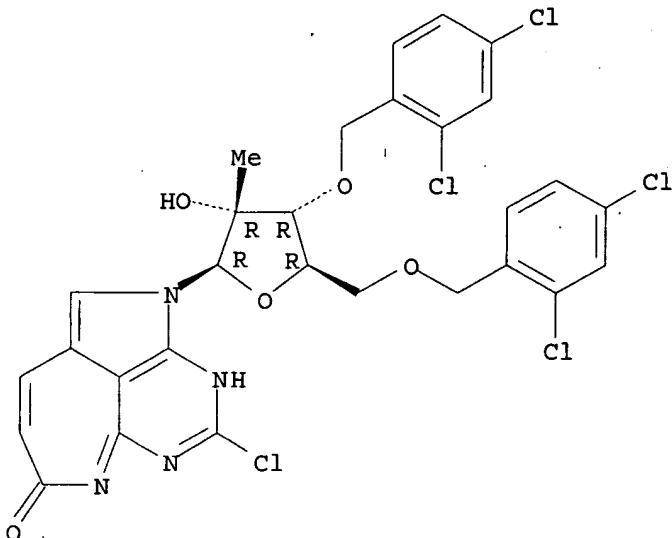
Absolute stereochemistry.



RN 847551-73-9 CAPLUS

CN 7H-2,3,5,6-Tetraazabenz[cd]azulen-7-one, 2-[3,5-bis-O-[(3,4-dichlorophenyl)methyl]-2-C-methyl- $\beta$ -D-ribofuranosyl]-4-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 11 Mar 2005

*(for) New*

ACCESSION NUMBER: 2005:216597 CAPLUS

DOCUMENT NUMBER: 142:291323

TITLE: Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)

INVENTOR(S): Hardee, Greg; Dellamary, Luis

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 217 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020885	A2	20050310	WO 2004-US16196	20040521
WO 2005020885	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-472774P P 20030521

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

IT 443642-48-6

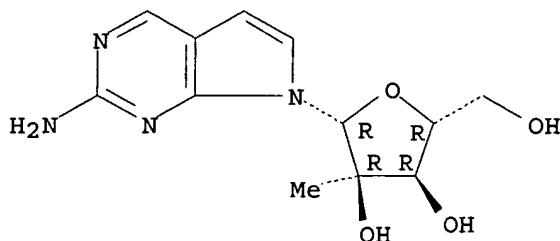
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. and methods for treatment of severe acute respiratory syndrome)

RN 443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Jan 2005

JUJ New

ACCESSION NUMBER: 2005:74691 CAPLUS

DOCUMENT NUMBER: 142:336574

TITLE: Synthesis of 2'-β-C-methyl toyocamycin and sangivamycin analogs as potential HCV inhibitors

AUTHOR(S): Ding, Yili; An, Haoyun; Hong, Zhi; Girardet, Jean-Luc  
CORPORATE SOURCE: Valeant Pharmaceuticals, Inc., Costa Mesa, CA, 92626, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15 (3), 725-727

CODEN: BMCL8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:336574

AB Coupling reaction of 2-β-C-methyl-1,2,3,4-tetra-O-benzoyl-D-ribofuranose with 4-amino-6-bromo-5-cyanopyrrolo[2,3-d]pyrimidine, followed by debromination and debenzylation, gave the 2'-β-C-Me toyocamycin in high yield. Based on this result, a series of 2'-β-C-methyl-4-substituted toyocamycin and sangivamycin analogs were synthesized for biol. screening as potential inhibitors of HCV RNA replication.

IT 677298-94-1P

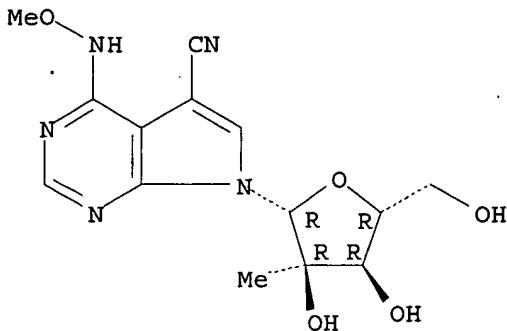
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 2'-β-C-Me toyocamycin and sangivamycin analogs via coupling reaction as potential HCV inhibitors)

RN 677298-94-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

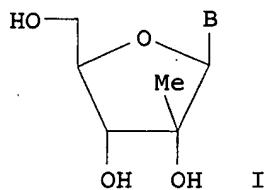
Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 15 Jul 2004 *for New*  
 ACCESSION NUMBER: 2004:566635 CAPLUS  
 DOCUMENT NUMBER: 141:89323  
 TITLE: Process for the production of 3'-nucleoside prodrugs  
 INVENTOR(S): Storer, Richard; Moussa, Adel; Mathieu, Steven; Qu, Lin  
 PATENT ASSIGNEE(S): Idenix Cayman Limited, Cayman I.  
 SOURCE: PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058792	A1	20040715	WO 2003-US41603	20031223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2511616	AA	20040715	CA 2003-2511616	20031223
AU 2003300434	A1	20040722	AU 2003-300434	20031223
US 2004181051	A1	20040916	US 2003-746395	20031223
EP 1575971	A1	20050921	EP 2003-814400	20031223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016868	A	20051025	BR 2003-16868	20031223
CN 1751058	A	20060322	CN 2003-80109820	20031223
JP 2006514038	T2	20060427	JP 2004-562599	20031223
NO 2005003557	A	20050908	NO 2005-3557	20050720
PRIORITY APPLN. INFO.:			US 2002-436150P	P 20021223
			WO 2003-US41603	W 20031223
OTHER SOURCE(S): GI			CASREACT 141:89323; MARPAT 141:89323	



AB Provided is a single-step process for the regioselective 3'-acylation of a ribofuranosyl 2'- or 3'-branched nucleosides I, wherein B is nucleobase. These compds. are useful as antiviral agents, and in particular, can be used to treat Flaviviridae infections in a host in need thereof (no data). Thus, 9-(2'-C-methyl-3'-O-valinoyl- $\beta$ -D-ribofuranosyl)-6-N-methyladenine dihydrochloride was prepared via regioselective esterification of 9-(2'-C-methyl- $\beta$ -D-ribofuranosyl)-6-N-methyladenine with N-(tert-butoxycarbonyl)-L-valine.

IT 714249-89-5P 714250-08-5P

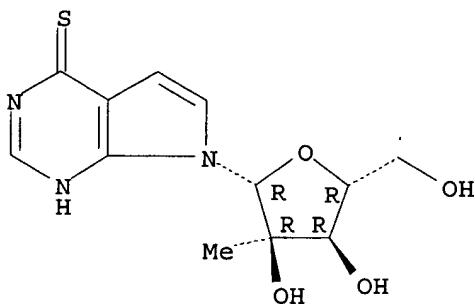
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for production of nucleoside prodrugs via regioselective esterification)

RN 714249-89-5 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidine-4-thione, 1,7-dihydro-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 714250-08-5 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-ethyl-7-(2-C-methyl- $\beta$ -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

